L Number	Hits	Search Text	DB	Time stamp
1	2202	("514/183,252.10,255.06").CCLS	USPAT	2004/03/12 11:53
2	1884	("544/224,336,406,407").CCLS	USPAT	2004/03/12 11:53
3	151	(("514/183,252.10,255.06").CCLS) and (("544/224,336,406,407").CCLS)	USPAT	2004/03/12 11:53
4	0	((("514/183,252.10,255.06").CCLS) and (("544/224,336,406,407").CCLS)) and 1,4-diazine	USPAT	2004/03/12 11:54
5	0	((("514/183,252.10,255.06").CCLS) and (("544/224,336,406,407").CCLS)) and syk	USPAT	2004/03/12 11:54
6	0	((("514/183,252.10,255.06").CCLS) and (("544/224,336,406,407").CCLS)) and allerdy	USPAT	2004/03/12 11:54
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8	121	((("514/183,252.10,255.06").CCLS) and (("544/224,336,406,407").CCLS)) and phenyl	USPAT	2004/03/12 11:55
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10	60	((("514/183,252.10,255.06").CCLS) and (("544/224,336,406,407").CCLS)) and pyrazine	USPAT	2004/03/12 11:58

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LOGINID:ssspta1611sxp

PASSWORD:
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NEWS 15	DEC 18	BIOTECHNO no longer updated
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NEWS 17	DEC 22	Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS 18	DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19	DEC 22	ABI-INFORM now available on STN
NEWS 20	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 21	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS 22	FEB 05	German (DE) application and patent publication number format changes
NEWS 23	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS 24	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS 25	MAR 03	FRANCEPAT now available on STN

NEWS EXPRESS	MARCH 5 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004
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FILE 'HOME' ENTERED AT 11:12:32 ON 12 MAR 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:12:44 ON 12 MAR 2004
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 MAR 2004 HIGHEST RN 661450-61-9
DICTIONARY FILE UPDATES: 10 MAR 2004 HIGHEST RN 661450-61-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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L1 STRUCTURE UPLOADED

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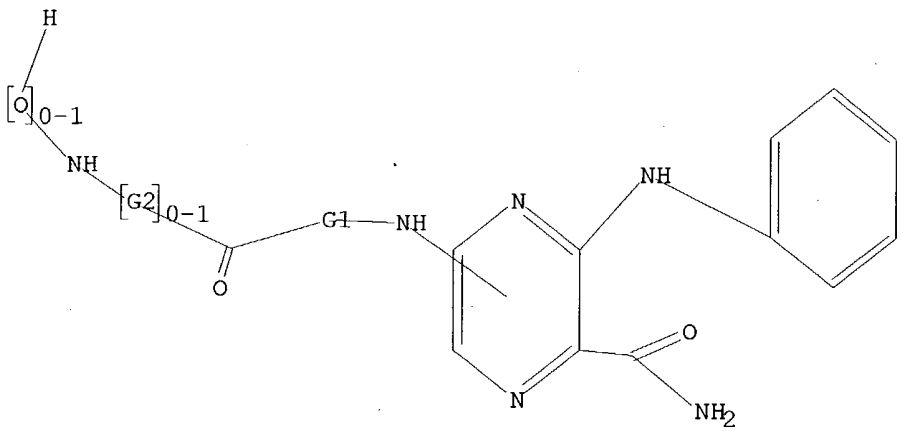
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Cy,Hy,Ak

G2 CH,MeO,EtO,n-PrO,i-PrO,n-BuO,i-BuO,s-BuO,t-BuO,NH,NH2

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 sss full
FULL SEARCH INITIATED 11:13:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      61 TO ITERATE

100.0% PROCESSED       61 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L2             0 SEA SSS FUL L1

=> file marpat
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     155.42     155.63

FILE 'MARPAT' ENTERED AT 11:13:23 ON 12 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 10)(20040307/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US     6683216 27 JAN 2004
DE    10317487 12 FEB 2004
EP     1388563 11 FEB 2004
JP 2004047131 12 FEB 2004
WO 2004011964 05 FEB 2004

Structure search limits have been raised.  See HELP SLIMIT for the new,
higher limits.
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FULL SEARCH INITIATED 11:13:31 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED -    5124 TO ITERATE

 94.5% PROCESSED     4843 ITERATIONS                          4 ANSWERS

100.0% PROCESSED     5124 ITERATIONS                          4 ANSWERS
SEARCH TIME: 00.00.25

L3             4 SEA SSS FUL L1

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                                                   ENTRY    SESSION
FULL ESTIMATED COST                               109.84     265.47

FILE 'CAOLD' ENTERED AT 11:14:34 ON 12 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

  This file supports REG1stRY for direct browsing and searching of
  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.

=>

=> s l1 sss full
   REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.



FULL SEARCH INITIATED 11:14:44 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      61 TO ITERATE

100.0% PROCESSED       61 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

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L5           0 L4
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                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.42     421.73

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FILE COVERS 1907 - 12 Mar 2004  VOL 140 ISS 12
FILE LAST UPDATED: 11 Mar 2004  (20040311/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> d his

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     FILE 'REGISTRY' ENTERED AT 11:12:44 ON 12 MAR 2004
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L2            0 S L1 SSS FULL

     FILE 'MARPAT' ENTERED AT 11:13:23 ON 12 MAR 2004
L3            4 S L1 SSS FULL

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                S L1

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L4            0 S L1 SSS FULL

     FILE 'CAOLD' ENTERED AT 11:14:44 ON 12 MAR 2004
L5            0 S L4 SSS FULL

     FILE 'CAPLUS' ENTERED AT 11:14:49 ON 12 MAR 2004

=> s l3
L6            4 L3

=> d l6 fbib hitstr abs total

L6   ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2004 ACS on STN
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AN 2002:777732 CAPLUS
DN **137:294978**
TI Preparation of HIV inhibiting pyrazinones
IN Janssen, Paul Adriaan Jan; Van Aken, Koen Jeanne Alfons; Lewi, Paulus Joannes; Koymans, Lucien Maria Henricus; De Jonge, Marc Rene; Heeres, Jan; Daeyaert, Frederik Frans Desire; Hoornaert, Georges Joseph Cornelius; Compernolle, Frans Josef Cornelius; Kilonda, Amuri
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002078708	A1	20021010	WO 2002-EP2806	20020313
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			EP 2001-200971 A	20010315
EP 1370265	A1	20031217	EP 2002-740421	20020313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			EP 2001-200971 A	20010315
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OS MARPAT 137:294978
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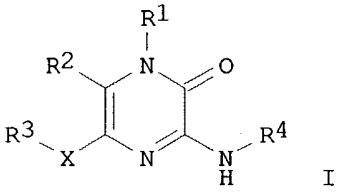

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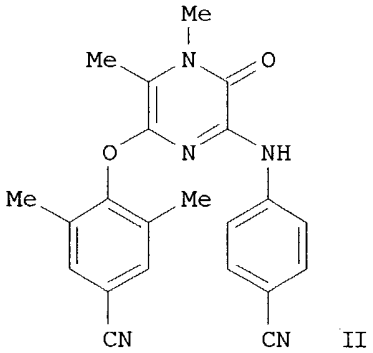

II

AB The title compds. [I; R1 = H, OH, CN, etc.; R2 = H, halo, SH, etc.; R3, R4 = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; X = O, N:N, NHNH, NR14, alkanediyl, etc.; R14 = H, aryl, formyl, etc.], useful in inhibiting HIV replication, were prepared Thus, refluxing 5-bromo-3-(4-cyanophenylamino)-

1,6-dimethyl-2(1H)-pyrazinone (preparation given) with 4-hydroxy-3,5-dimethylbenzonitrile in the presence of cesium carbonate, copper(I) chloride, 1-naphthoic acid and mol. sieves 4Å in toluene for 6 days afforded II which showed IC50 of 0.0063 μM against HIV-1.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:881124 CAPLUS
DN **134:42141**
TI Preparation of novel heterocyclic carboxamide derivatives as spleen tyrosine kinase inhibitors
IN Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa, Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075113	A1	20001214	WO 2000-JP3767	20000609
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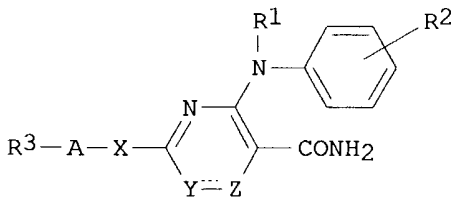
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				JP 1999-162692 A	19990609
	JP 2001055378	A2	20010227	JP 2000-171185	20000607
				JP 1999-162692 A	19990609
	EP 1184376	A1	20020306	EP 2000-935619	20000609
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				JP 1999-162692 A	19990609
				WO 2000-JP3767 W	20000609

OS MARPAT 134:42141
GI



I

AB Nitrogenous six-membered heterocycle compds. bearing as the substituents

-X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepared Also claimed are spleen tyrosine kinase (Syk) inhibitors containing the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of allergies, inflammations, autoimmune diseases, cancers, transplant rejection, graft-vs.-host diseases, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixture of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3-methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of ≤0.05 μM against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of ≤0.1 μM against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:761738 CAPLUS
DN **128:48245**
TI Preparation of benzamidine derivatives as anticoagulants
IN Buckman, Brad O.; Davey, David D.; Guilford, William J.; Morrissey, Michael M.; Ng, Howard P.; Phillips, Gary B.; Wu, Shung C.; Xu, Wei
PA Berlex Laboratories, Inc., USA
SO U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 401,829, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5691364	A	19971125	US 1995-473385	19950607
				US 1995-401829 B2	19950310
	CA 2214685	AA	19960919	CA 1996-2214685	19960308
				US 1995-401829 A	19950310
				US 1995-473385 A	19950607
	WO 9628427	A1	19960919	WO 1996-US2641	19960308
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1995-401829 A	19950310
				US 1995-473385 A2	19950607
	AU 9652994	A1	19961002	AU 1996-52994	19960308
	AU 707323	B2	19990708		
				US 1995-401829 A	19950310
				US 1995-473385 A	19950607
				WO 1996-US2641 W	19960308

EP 813525	A1	19971229	EP 1996-909536	19960308
EP 813525	B1	20031001		
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			US 1995-401829 A	19950310
			US 1995-473385 A	19950607
			WO 1996-US2641 W	19960308
AT 251141	E	20031015	AT 1996-909536	19960308
			US 1995-401829 A	19950310
			US 1995-473385 A	19950607
			WO 1996-US2641 W	19960308
US 5877181	A	19990302	US 1997-910774	19970813
			US 1995-401829 B2	19950310
			US 1995-473385 A3	19950607
US 5883100	A	19990316	US 1997-910614	19970813
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			US 1995-473385 A3	19950607
US 5889005	A	19990330	US 1997-910876	19970813
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			US 1995-473385 A3	19950607
US 6034103	A	20000307	US 1997-910609	19970813
			US 1995-401829 B2	19950310
			US 1995-473385 A3	19950607
US 6306884	B1	20011023	US 1999-436399	19991108
			US 1995-401829 B2	19950310
			US 1995-473385 A2	19950607
			WO 1996-US2641 W	19960308
			US 1997-913241 A3	19971208
US 6350746	B1	20020226	US 1999-457457	19991208
			US 1995-401829 B2	19950310
			US 1995-473385 A3	19950607
			US 1997-910609 A3	19970813

PATENT FAMILY INFORMATION:

FAN 1996:701501

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9628427	A1	19960919	WO 1996-US2641	19960308
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
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	AU 707323	B2	19990708		
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				WO 1996-US2641 W	19960308
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	EP 813525	B1	20031001		
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US 6004981	A	19991221	US 1997-913241 19971208
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			US 1995-473385 A219950607
			WO 1996-US2641 W 19960308
			US 1997-913241 A319971208
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			US 1999-436399 A319991108
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US 6479485	B2	20021112	
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US 6465459	B2	20021015	
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OS MARPAT 128:48245
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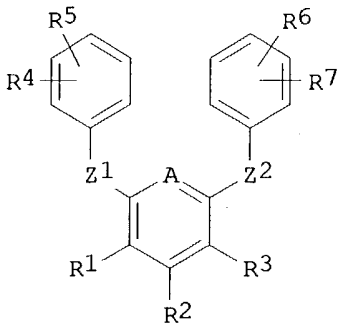

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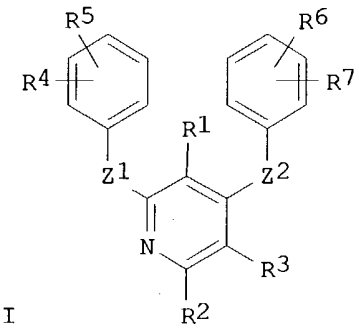

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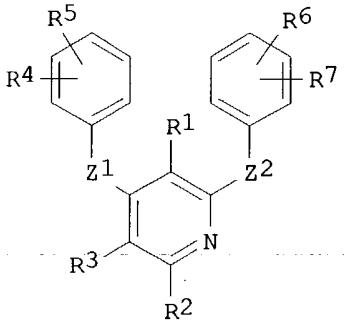

III

AB The title compds. [I-III; A = N; Z1, Z2 = O, S; R1, R3 = H, halo, alkyl, haloalkyl, etc.; R2 = H, halo, alkyl, haloalkyl, etc.; R4, R7 = H, halo, alkyl, NO2, etc.; R5 = C(:NH)NH2, C(:NH)NHOR8, etc.; R6 = (un)substituted (1,2)-imidazolyl or (1,2)-imidazolinyl; R8 = H, alkyl, aryl, etc.] are prepared I-III are useful as anticoagulants for treatment of disease-states characterized by thrombotic activity. Thus, 3,3'-[2,6-pyridinylbis(oxy)]bis(benzonitrile) (preparation given) was treated with HCl to give the title compound 3,3'-[2,6-pyridinylbis(oxy)]bis(benzamidine).2HCl. A formulation containing I-III were prepared

L6 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:701501 CAPLUS
DN **125:328514**
TI Preparation of benzamidine derivatives as anticoagulants
IN Buckman, Brad O.; Davey, David D.; Guilford, William J.; Morrissey, Michael M.; Ng, Howard P.; Phillips, Gary B.; Wu, Shung C.; Xu, Wei
PA Berlex Laboratories, Inc., USA
SO PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

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				US 1995-401829 B219950310
	AU 9652994	A1	19961002	AU 1996-52994 19960308
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				US 1995-473385 A 19950607
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				WO 1996-US2641 W 19960308
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				US 1999-436399 A319991108
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PATENT FAMILY INFORMATION:

FAN 1997:761738

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OS MARPAT 125:328514

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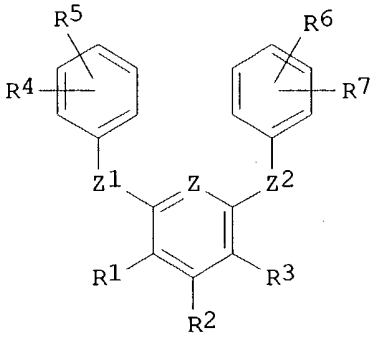

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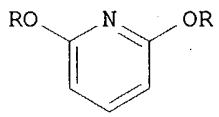

II

AB Title compds., e.g., I [R1,R3 = H, halo, alkyl, alkoxy, etc.; R2 = H,

halo, alkyl, OR8, etc.; R4,R7 = H, halo, alkyl, OR8, etc.; R5 = C(:NH)NH2, C(:NH)NHOR8, C(:NH)NHCOR8, etc.; R6 = halo, alkyl, haloalkoxy, etc.; R8 = H, (ar)alkyl, aryl; Z = CR11 or N; R11 = H, halo, alkyl; Z1,Z2 = O, NR8, S, OCH2] were prepared as anticoagulants (no data). Thus, 2,6-difluoropyridine was bis-etherified bu 3-(NC)C6H4OH and the product treated successively with HCl and NH3 to give title compound II.2HCl [R = C6H4[C(:NH)NH2]-3].

```
=> s syk inhibitors and allergy
L7            2 SYK INHIBITORS AND ALLERGY

=> s syl inhibitors and diseases
L8            0 SYL INHIBITORS AND DISEASES

=> s syk inhibitors and diseases
L9            2 SYK INHIBITORS AND DISEASES

=> s l7 and l9
L10           1 L7 AND L9

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L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN   2000:881124 CAPLUS
DN   134:42141
TI   Preparation of novel heterocyclic carboxamide derivatives as spleen
     tyrosine kinase inhibitors
IN   Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa,
     Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
PA   Yamanouchi Pharmaceutical Co., Ltd., Japan
SO   PCT Int. Appl., 36 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 1
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           LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
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        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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           CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                       JP 1999-162692 A 19990609
     JP 2001055378       A2    20010227      JP 2000-171185   20000607
                                       JP 1999-162692 A 19990609
     EP 1184376          A1    20020306      EP 2000-935619   20000609
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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OS   MARPAT 134:42141
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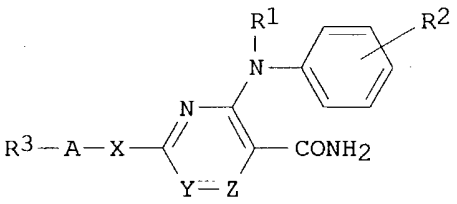

I

AB Nitrogenous six-membered heterocycle compds. bearing as the substituents -X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepared Also claimed are spleen tyrosine kinase (**Syk**) **inhibitors** containing the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of **allergies**, inflammations, autoimmune **diseases**, cancers, transplant rejection, graft-vs.-host **diseases**, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixture of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3-methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of ≤0.05 μM against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of ≤0.1 μM against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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     FILE 'CAPLUS' ENTERED AT 11:14:49 ON 12 MAR 2004
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L7              2 S SYK INHIBITORS AND ALLERGY
L8              0 S SYL INHIBITORS AND DISEASES
L9              2 S SYK INHIBITORS AND DISEASES
L10             1 S L7 AND L9

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L7   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2003:634677  CAPLUS
TI   Synthetic studies on heteroaryl carboxamide derivatives as novel
     Syk inhibitors
AU   Hisamichi, Hiroyuki; Kawazoe, Souichirou; Naito, Ryo; Toyoshima, Akira;
     Ichikawa, Atsushi; Orita, Akiko; Orita, Masaya; Nakai, Ei-ichi; Takeuchi,
     Makoto; Ohta, Mitsuaki; Tsukamoto, Shin-ichi
CS   Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd,
     Tsukuba, Ibaraki, 3058585, Japan
SO   Abstracts of Papers, 226th ACS National Meeting, New York, NY, United
     States, September 7-11, 2003 (2003), MEDI-068 Publisher: American Chemical
     Society, Washington, D. C.
     CODEN: 69EKY9
DT   Conference; Meeting Abstract
LA   English
AB   As a part of searching for spleen tyrosine kinase (Syk)
     inhibitors as potential therapeutic agents for allergy,
     heteroaryl carboxamide derivs. were synthesized and evaluated for
     inhibitory activities to Syk and to antigen-induced serotonin release from
     RBL-2H3 cells.  Among these compds., pyrimidine-5-carboxamide derivs. and
     pyrazine-2-carboxamide derivs. showed excellent Syk inhibitory activities
     with IC50 values below 10 nM and serotonin release inhibitory activities
     with IC50 values below 30 nM.  Some of these compds. also exhibited
     inhibitory activities on passive cutaneous anaphylaxis model in mice
     (ID50=10-30 mg/kg, p.o.).  These compds., therefore, would be expected as
     a drug for the treatment of allerg,TM.  The synthesis and
     structure-activity relationships of these compds. will be presented.

L7   ANSWER 2 OF 2  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2000:881124  CAPLUS
DN   134:42141
TI   Preparation of novel heterocyclic carboxamide derivatives as spleen
     tyrosine kinase inhibitors
IN   Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa,
     Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
PA   Yamanouchi Pharmaceutical Co., Ltd., Japan
SO   PCT Int. Appl., 36 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
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FAN.CNT 1

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PI WO 2000075113	A1	20001214	WO 2000-JP3767	20000609
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OS MARPAT 134:42141

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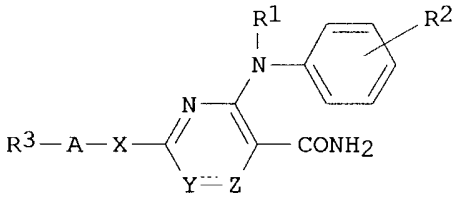

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AB Nitrogenous six-membered heterocycle compds. bearing as the substituents -X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepared Also claimed are spleen tyrosine kinase (**Syk**) **inhibitors** containing the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of **allergies**, inflammations, autoimmune diseases, cancers, transplant rejection, graft-vs.-host diseases, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixture of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3-methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of ≤0.05

μM against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of ≤0.1 μM against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	49.80	471.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.85	-4.85

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